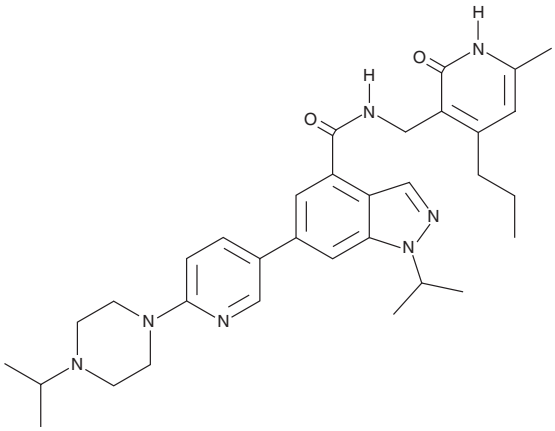
PRODUCT INFORMATION

UNC1999

Item No. 14621



CAS Registry No.:	431612-23-5
Formal Name:	N-[(1,2-dihydro-6-methyl-2-oxo-4-propyl-3-pyridinyl)methyl]-1-(1-methylethyl)-6-[6-[4-(1-methylethyl)-1-piperazinyl]-3-1H-indazole-4-carboxamide
MF:	$C_{33}H_{43}N_7O_2$
FW:	569.8
Purity:	≥98%
UV/Vis.:	λ_{max}: 31, 282, 313 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

UNC1999 is supplied as a crystalline solid. A stock solution may be made by dissolving the UNC1999 in the solvent of choice, which should be purged with an inert gas. UNC1999 is soluble in organic solvents such as ethanol and dimethyl formamide. The solubility of UNC1999 in these solvents is approximately 0.1 and 1 mg/ml, respectively.

UNC1999 is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

The histone H3 lysine 27 (H3K27) methyltransferase EZH2 plays an important role in regulating gene expression, and its aberrant activity is linked to the onset and progression of cancer.[1] UNC1999 is a cell-permeable EZH2 inhibitor (IC_{50} = 2 nM) that is 22-fold selective over EZH1 and >1,000-fold selective over other histone methyltranferases.[2] UNC1999 has been shown to inhibit H3K27 methylation in MCF10A cells with an IC_{50} value of 124 nM.[2] For more information on UNC1999 please visit the Structural Genomics Consortium (SGC). The negative control, UNC2400, for UNC1999 is also available exclusively through the SGC.

References

1. Simon, J.A. and Lange, C.A. Roles of the EZH2 histone methyltransferase in cancer epigenetics. *Mutat. Res.* **647(1-2)**, 21-29 (2008).
2. Konze, K.D., Ma, A., Li, F., *et al*. An orally bioavailable chemical probe of the lysine methyltransferases EZH2 and EZH1. *ACS Chem. Biol.* **8(6)**, 1324-1334 (2013).

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